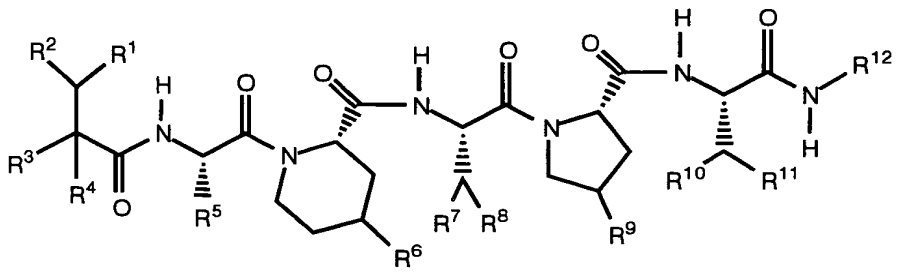
WHAT IS CLAIMED IS:

1. A compound of Formula I:



(I)

wherein R^1 is selected from alkyl, phenyl, cycloalkyl rings having four to ten ring-member carbon atoms, bicycloalkyl fused ring systems having seven to nine ring-member carbon atoms, heteroaryl, heteroarylalkyl, benzo-fused-heteroaryl and benzo-fused-heteroarylalkyl wherein said heteroaryl moiety or fragment is a 5- or 6-ring-member fully-unsaturated ring system having one hetero atom as a ring member, said hetero atom selected from oxygen, nitrogen and sulfur atoms, and wherein any of said heteroaryl, heteroarylalkyl, benzo-fused-heteroaryl and benzo-fused-heteroarylalkyl may be attached to the nucleus of Formula I as an R^1 substituent through a bond formed at any said ring-member atom or any atom of the alkyl portion of said R^1 substituent where said bond is capable of forming a stable compound;

wherein R^2 is selected from hydrido, lower alkyl, cyclohexyl and phenyl;

wherein R^3 is selected from hydrido, hydroxy, lower alkyl, phenyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and benzyloxycarbonylamino;

wherein R^4 is selected from hydrido, lower alkyl and phenyl;

wherein R^5 is selected from hydrido, lower alkyl, phenyl, benzyl, hydroxyphenyl, hydroxybenzyl, aminoalkyl, mono-alkyl-substituted-aminoalkyl and radicals provided by B-Het-A;

wherein Het is selected from heteroaryl moieties consisting of monocyclic and fused bicyclic ring systems having a total of five to fourteen ring members and with one to six ring members being selected from hetero atoms provided by oxygen, nitrogen and sulfur atoms, wherein said monocyclic ring system and at least one ring system of said fused bicyclic ring system is fully unsaturated, and

wherein Het is further selected from heterocyclic moieties consisting of monocyclic and fused polycyclic ring systems having a total of four to twelve ring members and with one to six ring members selected from hetero atoms provided by oxygen, nitrogen and sulfur atoms, wherein said monocyclic ring-system and at least one ring system of said fused polycyclic ring system is fully saturated or partially unsaturated,

wherein A is a single covalent bond or is a divalent radical selected from

$$-R^{13}-,\ -\overset{O}{\overset{\|}{C}}-,\ -R^{13}\overset{O}{\overset{\|}{C}}-,\ -R^{13}\overset{O}{\overset{\|}{C}}R^{13}-\ \text{and}\ -\overset{O}{\overset{\|}{C}}R^{13}-$$

wherein R^{13} is lower alkyl;

wherein **B** is one or more substituents attached at a substitutable position on Het of Het-A, said substituent selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, carboxy, alkenyl, alkynyl, halo, haloalkyl, oxo, cyano, benzyl and phenyl;

wherein R^6 is selected from hydrido, lower alkyl, hydroxy, alkoxy, alkoxyalkyl, carboxyalkyl, alkoxycarbonyl, alkoxycarbonyloxy, aminoalkyl, mono-alkyl-substituted-aminoalkyl, amido and amidoalkyl;

wherein R^7 is selected from carboxyl, lower alkyl, amido and methylthiomethyl;

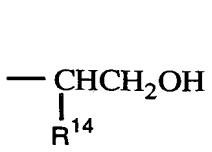
wherein R^8 is selected from hydrido, methyl and ethyl;

wherein R^9 is selected from hydrido, lower alkyl, alkoxy and phenyl;

wherein R^{10} is hydrido or hydroxy;

wherein R^{11} is hydrido or methyl;

wherein R^{12} is selected from lower alkyl, phenyl, phenylalkyl, cycloalkyl, cycloalkylalkyl,



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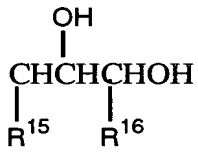
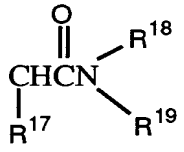


and



wherein each of R^{14} through R^{17} is independently selected from hydrido, hydroxy, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, cyano, benzyl and phenyl;

wherein each of R^{18} and R^{19} is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, benzyl and phenyl;

or a pharmaceutically-acceptable amide, ester or salt thereof.

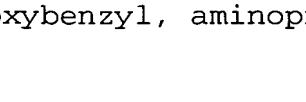
2. Compound of Claim 1 wherein R^1 is selected from cyclopentyl, cyclohexyl, cycloheptyl, norbornanyl, phenyl, furyl, pyrrolyl, thienyl, chromanyl, isochromanyl, benzothienyl, pyridyl, indolizinyl, isoindolyl, indolyl, 3H-indolyl, quinolizinyl, quinolyl, isoquinolyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl,thiazolidinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl, 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo, benzo-fused-oxazolidinyl, benzo-fused-thiazolidinyl, benzo-fused-morpholino, benzo-fused thiomorpholinyl, benzo-fused-thiazopinyl, benzo-fused oxazopinyl, benzo-fused-oxazocinyl, benzo-fused-oxazoninyl, tropanyl and benzo-fused-oxazobicyclo;

wherein R^2 is selected from hydrido, methyl, ethyl, propyl, cyclohexyl and phenyl;

wherein R^3 is selected from hydrido, hydroxy, methyl, ethyl, phenyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and benzyloxycarbonylamino;

wherein R^4 is hydrido or methyl;

wherein R^5 is selected from hydrido, n-propyl, isopropyl, n-butyl, isobutyl, phenyl, benzyl, hydroxyphenyl, hydroxybenzyl, aminopropyl, aminobutyl and radicals



provided by B-Het-R^{13} and B-Het-CR^{13};

wherein Het is selected from furyl, pyrrolyl, thienyl, chromanyl, isochromanyl, benzothienyl, pyridyl, indolizinyl, isoindolyl, indolyl, 3H-indolyl, quinolizinyl, quinolyl, isoquinolyl, imidazolyl,

pyrazolyl, oxazolidyl, thiazolidyl, isothiazolidyl, isoxazolidyl, furazanyl, pyrazinyl, pyrimidinyl, pyridazinyl, indazolyl, purinyl, phthalazinyl, naphthyridinyl, quinoxalinyl, quinazolinyl, cinnolinyl, pteridinyl, thieno-furanyl, furopyranyl, pyrido-oxazinyl, pyrazolo-oxazolyl, imidazo-thiazolyl, pyrazino-pyridazinyl, imidazo-thiazolyl, oxothiolo-pyrrolyl, imidazo-triazinyl, benzoxazinyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl, 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo, benzo-fused-oxazolidinyl, benzo-fused-thiazolidinyl, benzo-fused-morpholino, benzo-fused thiomorpholinyl, benzo-fused-thiazopinyl, benzo-fused oxazopinyl, benzo-fused-oxazocinyl, benzo-fused-oxazoninyl, tropanyl and benzo-fused-oxazobicyclo;

wherein R^{13} is lower alkyl;

wherein **B** is one or more substituents attached at a substitutable position on Het, said substituent selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, oxo, benzyl and phenyl;

wherein R^6 is selected from hydrido, lower alkyl, hydroxy, methoxy carboxyalkyl, alkoxycarbonyl, alkoxycarbonyloxy, aminoalkyl, mono-alkyl-substituted-aminoalkyl, amido and amidoalkyl;

wherein R^7 is selected from carboxyl, lower alkyl, amido and methylthiomethyl;

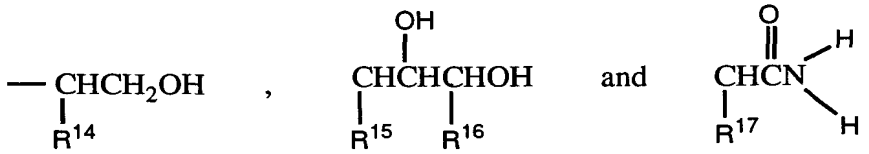
wherein R^8 is hydrido or methyl;

wherein R^9 is selected from hydrido, lower alkyl, methoxy and phenyl;

wherein R^{10} is hydrido or hydroxy;

wherein R^{11} is hydrido or methyl;

wherein R^{12} is selected from lower alkyl, phenyl, benzyl, phenylethyl, cyclohexylethyl,



wherein each of R^{14} through R^{17} is independently selected from hydrido, hydroxy and alkyl;

or a pharmaceutically-acceptable amide, ester or salt thereof.

3. Compound of Claim 2 wherein R^1 is selected from cyclopentyl, cyclohexyl, cycloheptyl, norbornanyl, phenyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo and tropanyl;

wherein R^2 is selected from hydrido, methyl, ethyl, propyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, cyclohexyl and phenyl;

wherein R^3 is selected from hydrido, hydroxy, methyl, ethyl, phenyl, acetylamino, propionylamino and benzyloxycarbonylamino;

wherein R^4 is hydrido or methyl;

wherein R^5 is selected from hydrido, n-propyl, isopropyl, n-butyl, isobutyl, aminopropyl, aminobutyl, phenyl, hydroxyphenyl, benzyl, hydroxybenzyl and radicals provided by ;

wherein Het is selected from azetidinyl, pyridinyl, isoindolyl, oxazolyl, isoxazolyl, indolyl, quinolyl, isoquinolyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl, 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo and tropanyl;

wherein R^{13} is selected from methyl, ethyl and propyl;

wherein **B** is one or more substituents attached at a substitutable position on Het, said substituent selected from hydrido, hydroxy, methyl, ethyl, propyl, oxo, benzyl and phenyl;

wherein R^6 is selected from hydrido, methyl, hydroxy, methoxy, phenyl, alkoxycarbonyl, alkoxycarbonyloxy, aminoalkyl, mono-amido and amidoalkyl;

wherein R^7 is selected from carboxyl, n-propyl, n-butyl, amido and methylthiomethyl;

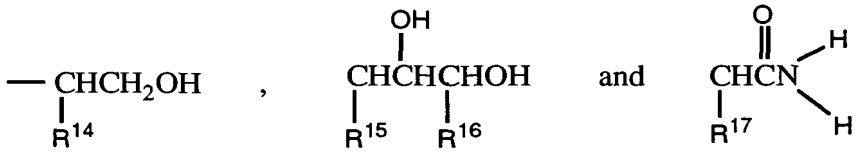
wherein R^8 is hydrido or methyl;

wherein R^9 is selected from hydrido, lower alkyl, methoxy and phenyl;

wherein R^{10} is hydroxy;

wherein R^{11} is hydrido or methyl;

wherein R^{12} is selected from lower alkyl, phenyl, phenylethyl, cyclohexylethyl,

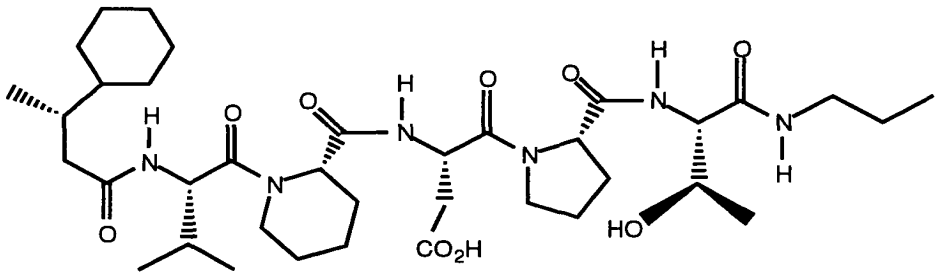


wherein each of R^{14} through R^{17} is independently selected from hydrido, hydroxy, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, benzyl and phenyl;

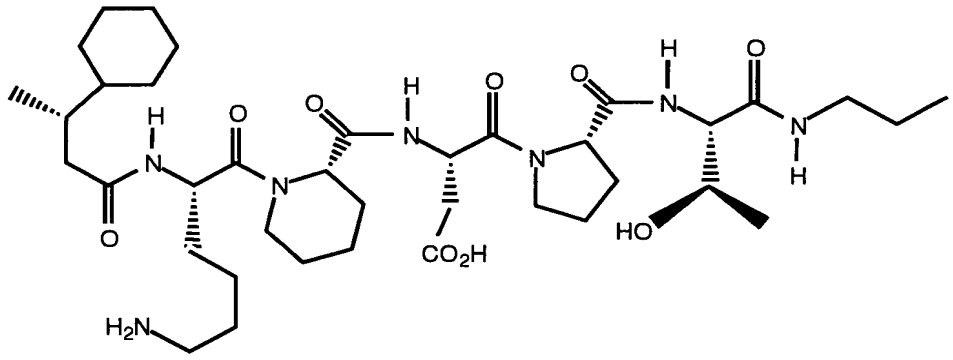
or a pharmaceutically-acceptable amide, ester or salt thereof.

4. Compound of Claim 3 wherein R^1 is phenyl or cyclohexyl; wherein R^2 is hydrido or methyl; wherein R^3 is selected from hydrido, hydroxy, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and benzyloxycarbonylamino; wherein R^4 is hydrido; wherein R^5 is selected from isopropyl, isobutyl, n-propyl, n-butyl, aminopropyl, aminobutyl, phenyl, benzyl, para-hydroxyphenyl, para-hydroxybenzyl, imidazolcarbonylethyl, imidazolcarbonylpropyl, pyrrolidinylcarbonylethyl, pyrrolidinylcarbonylpropyl, azetidinylcarbonylethyl, azetidinylcarbonylpropyl, morpholinocarbonylethyl, morpholinocarbonylpropyl, piperazinocarbonylethyl, piperazinocarbonylpropyl, pyridinylcarbonylethyl, pyridinylcarbonylpropyl, oxazolylcarbonylethyl, oxazolylcarbonylpropyl, isoxazolylcarbonylethyl, isoxazolylcarbonylpropyl, azepinylcarbonylethyl and azepinylcarbonylethyl; wherein R^6 is selected from hydrido, methyl, hydroxy, methoxy, phenyl and aminocarbonyl; wherein R^7 is carboxyl or methylthiomethyl; wherein R^8 is hydrido; wherein R^9 is selected from hydrido, hydroxy, methyl, methoxy and phenyl; wherein R^{10} is hydroxy; wherein R^{11} is methyl; wherein R^{12} is selected from methyl, ethyl, propyl, butyl, isobutyl, $-CH(iBu)CH_2OH$ and $-CH(iBu)CONH_2$; or a pharmaceutically-acceptable amide, ester or salt thereof.

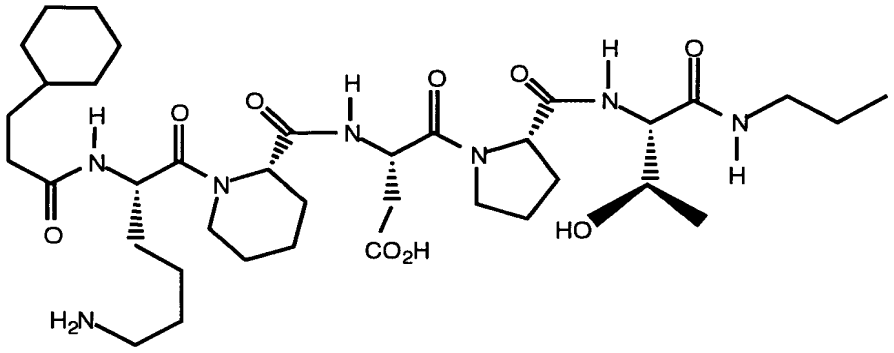
5. Compound of Claim 4 which is



6. Compound of Claim 4 which is



7. Compound of Claim 4 which is



8. Compound of Claim 4 which is